WEST Search History

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DATE: Tuesday, December 05, 2006

Hide?	Set Name	Query	Hit Count
		DB=PGPB,USPT,USOC,EPAB,JPAB,DWPI; PLUR=YES; OP=ADJ	
☐	L22	L21 and @py<=2001	1222
☐	L21	neuroleptic with antidepressant	4840
☐	L20	insomnia therapeutic	10
☐	L19	L18 and @py<=2001	557
☐	L18	neuroleptic with antipsychotic	1144
☐	L17	L7 and @py<=2001	30918
☐	L16	A! adj 23886!	0
☐	L15	L14 and @py<=2001	80
☐	L14	L13 and L12	258
☐	L13	calcium phosphate or cellulose or sugar or polyol	836340
☐	L12	L11 and L4 and L10 and L6	273
☐	L11	(caplet or tablet) with (diameter or length) with (mm! or millimeter)	5509
☐	L10	L9 or L8	620673
☐	L9	acacia or alginic acid or carbomer or carbopol or carboxymethylcellulose sodium or dextrin or ethyl cellulose or gelatin or guar gum or hydrogenated vegetable oil or hydroxyethyl cellulose or hydroxypropyl cellulose or Klucel or hydroxypropyl methyl cellulose or Methocel or liquid glucose or magnesium aluminum silicate or maltodextrin or methylcellulose or polymethacrylate or povidone or Kollidon or Plasdone or pregelatinized starch or sodium alginate or starch	511187
☐	L8	crystalline cellulose or cellulose derivative or acacia or corn starch or gelatin or tragacanth or gum	405443
☐	L7	binder and tablet	71183
☐	L6	fat or emulsifier or wax or magnesium stearate or calcium stearate or talc or starch or silicon dioxide	945297
☐	L5	calcium phosphate or cellulose or sugar	730978
☐	L4	Fluoxetine HCl or Paroxetine HCl or Sertraline HCl or Venlafaxine HCl or Amitriptyline or Nortriptyline or Imipramine or Desipramine or Doxepin or Trimipramine or Clomipramine or Protriptyline or Amoxapine or Maprotiline or Phenelzine or Tranylcypromine or Fluvoxamine or Venlafaxine or Trazodone or Nefazodone or Mirtazapine or Bupropion	10537
☐	L3	6555145.pn.	2
☐	L2	antibiotic same sucrose same binder same magnesium stearate	30
☐	L1	20020044960.pn.	2

END OF SEARCH HISTORY

09982093

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     (FILE 'HOME' ENTERED AT 13:34:43 ON 05 DEC 2006)

     FILE 'REGISTRY' ENTERED AT 13:34:54 ON 05 DEC 2006
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L2              0 S L1
L3              0 S L1 FULL
L4                STRUCTURE UPLOADED
L5              3 S L4
L6              1 S IMIPRAMINE/CN

     FILE 'CA, CAPLUS' ENTERED AT 13:50:04 ON 05 DEC 2006
L7              8 S L5
L8          56764 S ANTIDEPRESSANT
L9          20803 S PSYCHOTROPIC
L10          2301 S ANTIINFECTIVE
L11         66809 S CARDIOVASCULAR (P) (THERAPEUTIC OR AGENT OR DRUG)
L12        335542 S ANTIBIOTIC
L13         31015 S GASTROINTESTINAL (P) (AGENT OR DRUG)
L14             0 S L5 AND L8
L15             0 S L5 AND L9
L16             0 S L5 AND L10
L17             0 S L5 AND L11
L18             0 S L7 AND L11
L19             0 S L7 AND L13
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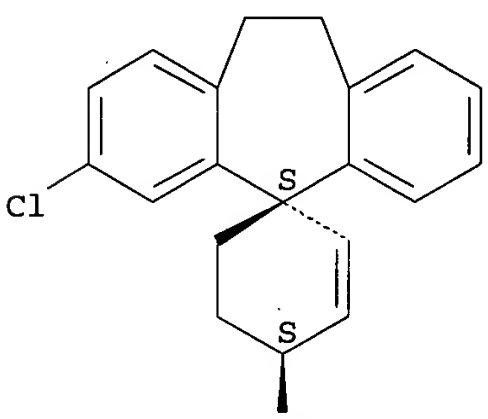
L5 ANSWER 1 OF 3 REGISTRY COPYRIGHT 2006 ACS on STN
RN 69319-49-9 REGISTRY
ED Entered STN: 16 Nov 1984
CN Spiro[2-cyclohexene-1,5'-[5H]dibenzo[a,d]cyclohepten]-4-amine, 3'-chloro-10',11'-dihydro-N,N-dimethyl-, trans- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Spiro[2-cyclohexene-1,5'-[5H]dibenzo[a,d]cyclohepten]-4-amine, 3'-chloro-10',11'-dihydro-N,N-dimethyl-, trans-(±)-
OTHER NAMES:
CN A 23622
FS STEREOSEARCH
MF C22 H24 Cl N
CI COM
LC STN Files: BEILSTEIN*, CA, CAPLUS
(*File contains numerically searchable property data)

Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 2 OF 3 REGISTRY COPYRIGHT 2006 ACS on STN
RN 61955-09-7 REGISTRY
ED Entered STN: 16 Nov 1984
CN Spiro[2-cyclohexene-1,5'-[5H]dibenzo[a,d]cyclohepten]-4-amine, 3'-chloro-10',11'-dihydro-N,N-dimethyl-, hydrochloride, trans- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
DR 71563-49-0
MF C22 H24 Cl N . Cl H
LC STN Files: CA, CAPLUS
CRN (69319-49-9)

Relative stereochemistry.

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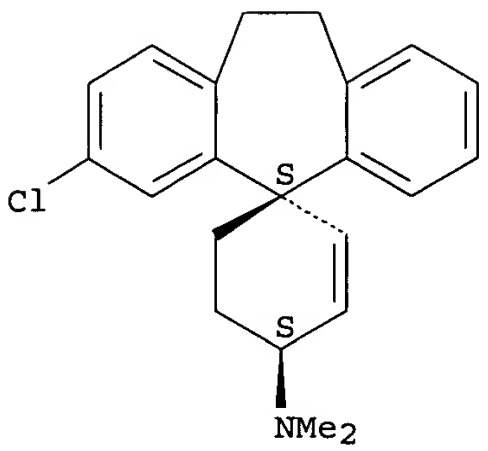
09982093

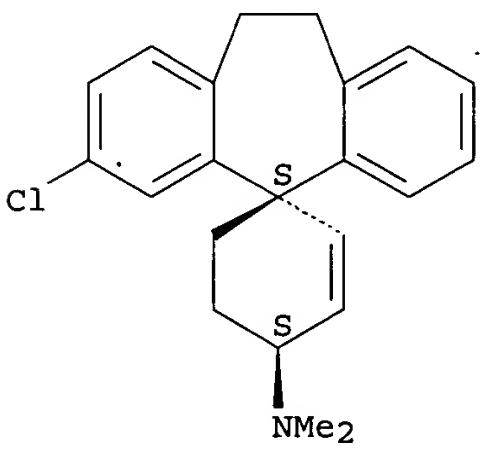


● HCl

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L5 ANSWER 3 OF 3 REGISTRY COPYRIGHT 2006 ACS on STN
RN 61955-07-5 REGISTRY
ED Entered STN: 16 Nov 1984
CN Spiro[2-cyclohexene-1,5'-[5H]dibenzo[a,d]cyclohepten]-4-amine, 3'-chloro-10',11'-dihydro-N,N-dimethyl-, (1R,4R)-rel-(-)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Spiro[2-cyclohexene-1,5'-[5H]dibenzo[a,d]cyclohepten]-4-amine, 3'-chloro-10',11'-dihydro-N,N-dimethyl-, trans-(-)-
OTHER NAMES:
CN A 23886
FS STEREOSEARCH
MF C22 H24 Cl N
LC STN Files: BEILSTEIN*, CA, CAPLUS
(*File contains numerically searchable property data)

Rotation (-). Absolute stereochemistry unknown.



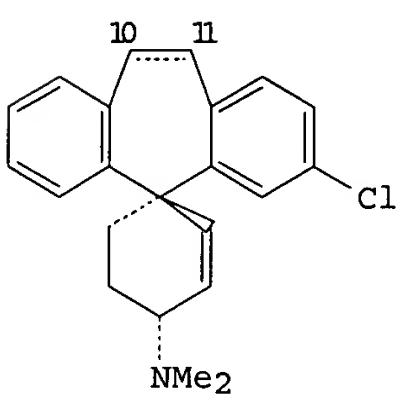
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

Blessing Fubara

09982093

L7 ANSWER 1 OF 8 CA COPYRIGHT 2006 ACS on STN
AN 92:88157 CA
TI Absolute configuration of potentially neuroleptic rigid spiro amines. A study on the topography of the neuroleptic receptor
AU Carnmalm, B.; Johansson, L.; Raemsby, S.; Stjernstroem, N. E.
CS Dep. Org. Chem., Astra Laekemedel AB, Soedertaelje, S-151 85, Swed.
SO Acta Pharmaceutica Suecica (1979), 16(4), 239-46
CODEN: APSXAS; ISSN: 0001-6675
DT Journal
LA English
GI



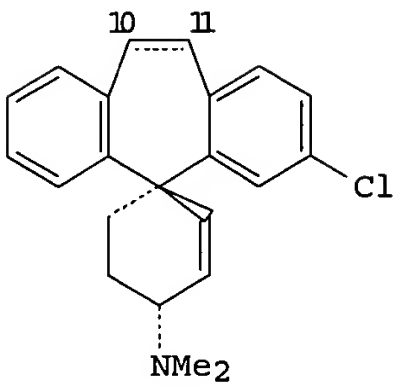
I, 10, 11-satd
II, 10, 11-unsatd

AB The absolute configuration of I maleate [72689-79-3] and II-HCl [72689-78-2], the most active neuroleptic enantiomers of the rigid spiro amines, were determined by x-ray diffraction methods. I had the space group of monoclinic P21, and II crystallized in the orthorhombic P212121 space group. Both compds. had the (S)-configuration at the spiro C atom and the (R)-configuration at the amino bearing C atom. The topog. of the neuroleptic receptor is discussed in terms of a new model. It is suggested that competitive antiagonists of dopamine do not have to be superimposable on the agonist itself.

AN 92:88157 CA
ED Entered STN: 12 May 1984
TI Absolute configuration of potentially neuroleptic rigid spiro amines. A study on the topography of the neuroleptic receptor
AU Carnmalm, B.; Johansson, L.; Raemsby, S.; Stjernstroem, N. E.
CS Dep. Org. Chem., Astra Laekemedel AB, Soedertaelje, S-151 85, Swed.
SO Acta Pharmaceutica Suecica (1979), 16(4), 239-46
CODEN: APSXAS; ISSN: 0001-6675
DT Journal
LA English
CC 1-13 (Pharmacodynamics)
Section cross-reference(s): 22
GI

Blessing Fubara

09982093



I, 10, 11-satd

II, 10, 11-unsatd

AB The absolute configuration of I maleate [72689-79-3] and II-HCl [72689-78-2], the most active neuroleptic enantiomers of the rigid spiro amines, were determined by x-ray diffraction methods. I had the space group of monoclinic P21, and II crystallized in the orthorhombic P212121 space group. Both compds. had the (S)-configuration at the spiro C atom and the (R)-configuration at the amino bearing C atom. The topog. of the neuroleptic receptor is discussed in terms of a new model. It is suggested that competitive antiagonists of dopamine do not have to be superimposable on the agonist itself.

ST spiro amine neuroleptic abs configuration; dibenzocycloheptane neuroleptic abs configuration

IT Tranquilizers and Neuroleptics
(absolute configuration of spiroamines as)

IT Crystal structure
(of chlorospirodibenzocycloheptenecyclohexene and chlorospirodibenzocycloheptanecyclohexene derivative)

IT Stereochemistry
(of chlorospirodibenzocycloheptenecyclohexene derivative, neurolog. activity in relation to)

IT 61955-06-4 61955-07-5 61955-08-6 69319-53-5 69319-54-6 69319-55-7 72689-78-2 72689-79-3
RL: PRP (Properties)
(absolute configuration of, neuroleptic activity in relation to)

L7 ANSWER 2 OF 8 CA COPYRIGHT 2006 ACS on STN

AN 91:193049 CA

TI An improved synthesis and resolution of potentially neuroleptic rigid spiro amines

AU Carnmalm, B.; Johansson, L.; Ramsby, S.; Stjernstrom. N. E.

CS Res. Dev. Lab., Astra Lakemedel AB, Sodertalje, S-15185, Swed.

SO Acta Chemica Scandinavica, Series B: Organic Chemistry and Biochemistry (1979), B33(2), 100-4
CODEN: ACBOCV; ISSN: 0302-4369

DT Journal

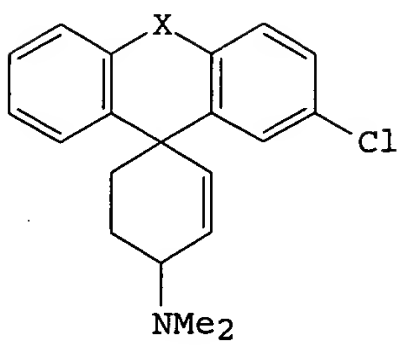
LA English

OS CASREACT 91:193049

GI

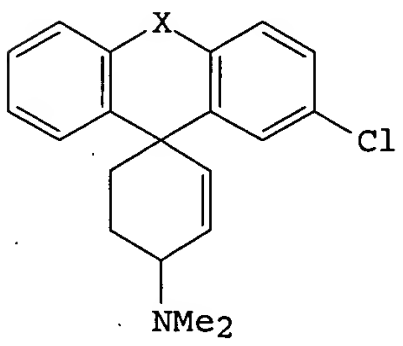
Blessing Fubara

09982093



I, X=CH:CH
II, X=CH_2CH_2

AB The rigid spiro amine 3-chloro-N,N-dimethylspiro[5H-dibenzo[a,d]-cycloheptene-5,4'-cyclohex-2'-en]-4'-amine (I) and its 10,11-dihydro derivative II were prepared and their diastereoisomers were separated and resolved.
All possible enantiomers were characterized.
AN 91:193049 CA
ED Entered STN: 12 May 1984
TI An improved synthesis and resolution of potentially neuroleptic rigid spiro amines
AU Carnmalm, B.; Johansson, L.; Ramsby, S.; Stjernstrom. N. E.
CS Res. Dev. Lab., Astra Lakemedel AB, Sodertalje, S-15185, Swed.
SO Acta Chemica Scandinavica, Series B: Organic Chemistry and Biochemistry (1979), B33(2), 100-4
CODEN: ACBOCV; ISSN: 0302-4369
DT Journal
LA English
CC 26-8 (Condensed Aromatic Compounds)
OS CASREACT 91:193049
GI



I, X=CH:CH
II, X=CH_2CH_2

AB The rigid spiro amine 3-chloro-N,N-dimethylspiro[5H-dibenzo[a,d]-cycloheptene-5,4'-cyclohex-2'-en]-4'-amine (I) and its 10,11-dihydro derivative II were prepared and their diastereoisomers were separated and resolved.
All possible enantiomers were characterized.
ST rigid spiro amine; spirodibenzocycloheptenecyclohexenamine prepn resoln; neuroleptic potential spiro amine prepn
IT Resolution
(of potentially neuroleptic rigid spiroamines)
IT 124-40-3, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(Leuckart reaction of, with dibenzocycloheptenecarboxaldehyde and Me vinyl ketone)
IT 78-94-4, reactions

Blessing Fubara

RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization reaction of, with dibenzocycloheptenecarboxaldehyde and dimethylamine)

IT 23908-14-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization reaction of, with dimethylsulfoxonium methylide)

IT 19661-01-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(deoxygenation of)

IT 50456-60-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion to acid chloride)

IT 41695-29-8P 41695-35-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and cyclization reaction with butenone and dimethylamine)

IT 38506-19-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and diethoxymethylation of)

IT 71563-50-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)

IT 28200-86-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and rearrangement of)

IT 50456-57-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)

IT 69319-48-8P 69319-49-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and resolution of)

IT 41659-20-5P 41695-52-7P 51359-94-5P 61955-04-2P 61955-09-7P
71563-46-7P 71563-47-8P 71563-51-4P 71592-23-9P 71805-50-0P
71805-51-1P 71805-52-2P 71805-53-3P 71805-54-4P 71805-55-5P
71805-56-6P 71835-15-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

IT 14444-77-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with butyllithium and dibenzocycloheptene derivative)

IT 54491-74-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(self-coupling reaction of)

L7 ANSWER 3 OF 8 CA COPYRIGHT 2006 ACS on STN
AN 90:132624 CA
TI Studies on the stereoselective dopamine receptor blockade in the rat brain by rigid spiro amines
AU Ogren, S. O.; Hall, H.; Kohler, C.
CS Res. Dev. Lab., Astra Lakemedel AB, Sodertalje, Swed.
SO Life Sciences (1978), 23(17-18), 1769-73
CODEN: LIFSAK; ISSN: 0024-3205
DT Journal
LA English
GI

Blessing Fubara

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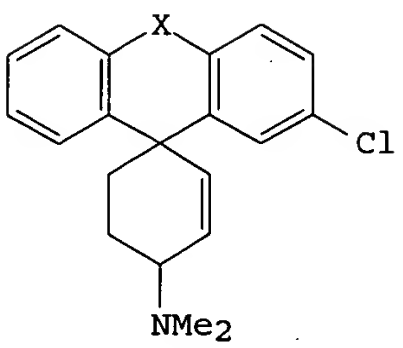
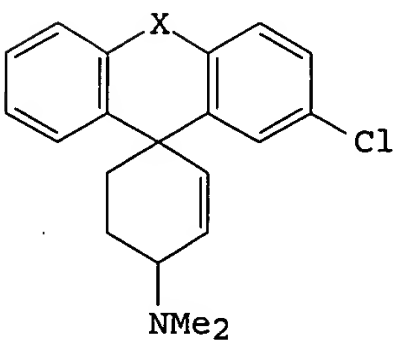


I

AB The effects of 2 series of tetracyclic spiro amines and of chlorpromazine [50-53-3], clozapine [5786-21-0] and sulpiride [15676-16-1] on spiroperidol [749-02-0] binding in various dopamine areas of the brain and on apomorphine-HCl [314-19-2] induced stereotypy and hyperactivity was studied in rats. The 2 series of rigid spiro amines (racemates and enantiomers) showed stereoselective effects on spiroperidol binding and on apomorphine-induced behaviors, thus providing evidence for stereospecific dopamine receptor blockade. Of the 4 enantiomers of the spiro amines tested, only (cis-(-) isomers showed a high potency, higher than that of chlorpromazine, clozapine and sulpiride. Sulpiride was a weak inhibitor of spiroperidol binding and was less active in limbic areas than in the striatum. The potencies of the spiro amines as well as of chlorpromazine and clozapine in displacing spiroperidol in the striatum and in the limbic dopamine system (nucleus accumbens and tuberculum olfactorium) correlated closely with their potencies in inhibiting apomorphine induced stereotypies and hyperactivity.

AN 90:132624 CA
ED Entered STN: 12 May 1984
TI Studies on the stereoselective dopamine receptor blockade in the rat brain by rigid spiro amines
AU Ogren, S. O.; Hall, H.; Kohler, C.
CS Res. Dev. Lab., Astra Lakemedel AB, Sodertalje, Swed.
SO Life Sciences (1978), 23(17-18), 1769-73
CODEN: LIFSAK; ISSN: 0024-3205
DT Journal
LA English
CC 1-4 (Pharmacodynamics)
GI



I

AB The effects of 2 series of tetracyclic spiro amines and of chlorpromazine [50-53-3], clozapine [5786-21-0] and sulpiride [15676-16-1] on

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spiroperidol [749-02-0] binding in various dopamine areas of the brain and on apomorphine-HCl [314-19-2] induced stereotypy and hyperactivity was studied in rats. The 2 series of rigid spiro amines (racemates and enantiomers) showed stereoselective effects on spiroperidol binding and on apomorphine-induced behaviors, thus providing evidence for stereospecific dopamine receptor blockade. Of the 4 enantiomers of the spiro amines tested, only (cis-(-) isomers showed a high potency, higher than that of chlorpromazine, clozapine and sulpiride. Sulpiride was a weak inhibitor of spiroperidol binding and was less active in limbic areas than in the striatum. The potencies of the spiro amines as well as of chlorpromazine and clozapine in displacing spiroperidol in the striatum and in the limbic dopamine system (nucleus accumbens and tuberculum olfactorium) correlated closely with their potencies in inhibiting apomorphine induced stereotypies and hyperactivity.

ST spiroamine brain dopamine receptor stereospecificity; neuroleptic brain dopamine receptor stereospecificity

IT Brain

IT Tranquilizers and Neuroleptics
(dopamine receptor blockade by, in brain)

IT Hyperkinesis
(spiroamines effect on apomorphine-induced, brain dopaminergic receptor blockade in relation to)

IT Molecular structure-biological activity relationship
(dopamine receptor-blocking, of spiroamines)

IT Receptors
RL: BIOL (Biological study)
(dopaminergic, of brain, blockade of, by spiroamines, stereoselectivity in)

IT Behavior
(stereotypic, spiroamines effect on apomorphine-induced, brain dopaminergic receptor blockade in relation to)

IT 749-02-0
RL: BIOL (Biological study)
(binding of, by brain dopamine receptors, spiroamines effect on)

IT 50-53-3, biological studies 5786-21-0 15676-16-1
RL: BIOL (Biological study)
(dopamine receptor blockade by, in brain)

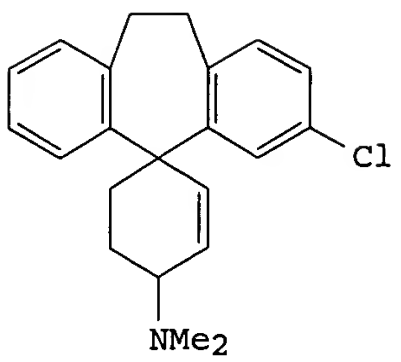
IT 61955-05-3 61955-07-5 61955-08-6 69319-48-8
69319-49-9 69319-50-2 69319-51-3 69319-52-4 69319-53-5
69319-54-6 69319-55-7
RL: BIOL (Biological study)
(dopamine receptors blocking by, in brain)

IT 314-19-2
RL: BIOL (Biological study)
(hyperactivity and stereotypy from, spiroamines effect on, dopaminergic receptor blockade in relation to)

L7 ANSWER 4 OF 8 CA COPYRIGHT 2006 ACS on STN
AN 86:115001 CA
TI Stereoselective effects of the potentially neuroleptic rigid spiro amines
AU Carnmalm, Bernt; Johansson, Lars; Raemsby, Sten; Stjernstroem, Nils E.; Ross, Svante B.; Ogren, Sven-Ove
CS Dep. Org. Chem., Astra Laekemedel AB, Soedertaelje, Swed.
SO Nature (London, United Kingdom) (1976), 263(5577), 519-20
CODEN: NATUAS; ISSN: 0028-0836
DT Journal
LA English
GI

Blessing Fubara

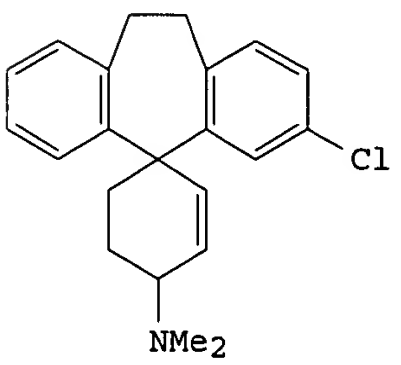
09982093



I

AB The effects of enantiomers of the cis and trans isomers of A 02056 (I) [51359-94-5] on apomorphine [58-00-4] (2 mg/kg, i.p.) stereotypes were determined in rats. The inhibitory activity of I resided mainly in the β isomer, A 23623 [61955-04-2], which was about as potent as chlorpromazine [50-53-3], ED50 10 and 14 μmole/kg, resp. In addition, only the (-)-β enantiomer, A 23887 [61955-05-3] was active in the apomorphine test, being 3 or 4 times as potent as chlorpromazine, ED50 4 μmole/kg. Of the 2 β enantiomers only A23887 stimulated dopamine [51-61-6] turnover in brain. There are therefore strict stereochem. requirements for a potent and selective blocker of dopamine receptor.

AN 86:115001 CA
ED Entered STN: 12 May 1984
TI Stereoselective effects of the potentially neuroleptic rigid spiro amines
AU Carnmalm, Bernt; Johansson, Lars; Raemsby, Sten; Stjernstroem, Nils E.; Ross, Svante B.; Ogren, Sven-Ove
CS Dep. Org. Chem., Astra Laekemedel AB, Soedertaelje, Swed.
SO Nature (London, United Kingdom) (1976), 263(5577), 519-20
CODEN: NATUAS; ISSN: 0028-0836
DT Journal
LA English
CC 1-4 (Pharmacodynamics)
GI



I

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turnover in brain. There are therefore strict stereochem. requirements for a potent and selective blocker of dopamine receptor.

ST dopamine receptor spiro amine; stereoselectivity neuroleptic spiro amine

IT Spiro compounds
RL: BIOL (Biological study)
(amines, apomorphine stereotypy response to, stereoselectivity of)

IT Receptors
RL: BIOL (Biological study)
(dopaminergic, spiro amines effect on, stereoselectivity of)

IT Amines, biological studies
RL: BIOL (Biological study)
(spiro, apomorphine stereotypy response to, stereoselectivity of)

IT Behavior
(stereotyped, from apomorphine, spiro amines effects on, stereoselectivity of)

IT 61955-05-3 61955-06-4 61955-07-5 61955-08-6
RL: BIOL (Biological study)
(apomorphine stereotypy response to, dopamine receptor blockade in relation to)

IT 50-53-3, biological studies
RL: BIOL (Biological study)
(apomorphine stereotypy response to, spiro amines in relation to)

IT 58-00-4
RL: BIOL (Biological study)
(behavior response to, spiro amines effects on, stereoselectivity of)

IT 51359-94-5P 61955-04-2P 61955-09-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and apomorphine stereotypy response to, dopamine receptor blockade in relation to)

IT 51-61-6, biological studies
RL: BIOL (Biological study)
(receptors for, spiro amines effect on, stereoselectivity of)

L7 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1980:88157 CAPLUS

DN 92:88157

TI Absolute configuration of potentially neuroleptic rigid spiro amines. A study on the topography of the neuroleptic receptor

AU Carnmalm, B.; Johansson, L.; Raemsby, S.; Stjernstroem, N. E.

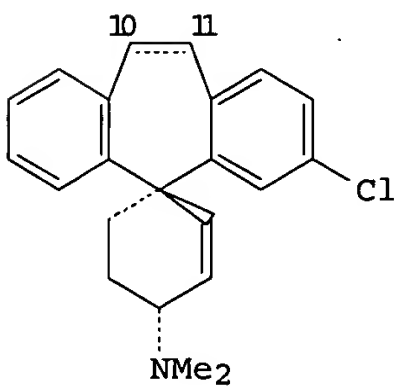
CS Dep. Org. Chem., Astra Laekemedel AB, Soedertaelje, S-151 85, Swed.

SO Acta Pharmaceutica Suecica (1979), 16(4), 239-46
CODEN: APSXAS; ISSN: 0001-6675

DT Journal

LA English

GI



I, 10, 11-satd

II, 10, 11-unsatd

Blessing Fubara

09982093

AB The absolute configuration of I maleate [72689-79-3] and II-HCl [72689-78-2], the most active neuroleptic enantiomers of the rigid spiro amines, were determined by x-ray diffraction methods. I had the space group of monoclinic P21, and II crystallized in the orthorhombic P212121 space group. Both compds. had the (S)-configuration at the spiro C atom and the (R)-configuration at the amino bearing C atom. The topog. of the neuroleptic receptor is discussed in terms of a new model. It is suggested that competitive antiagonists of dopamine do not have to be superimposable on the agonist itself.

AN 1980:88157 CAPLUS

DN 92:88157

ED Entered STN: 12 May 1984

TI Absolute configuration of potentially neuroleptic rigid spiro amines. A study on the topography of the neuroleptic receptor

AU Carnmalm, B.; Johansson, L.; Raemsby, S.; Stjernstroem, N. E.

CS Dep. Org. Chem., Astra Laekemedel AB, Soedertaelje, S-151 85, Swed.

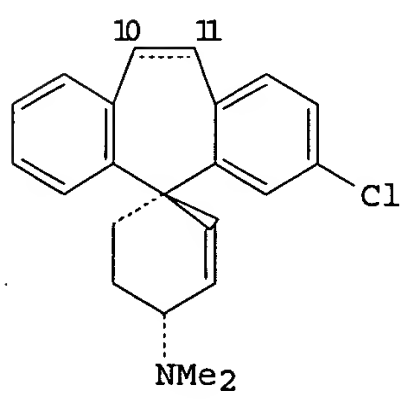
SO Acta Pharmaceutica Suecica (1979), 16(4), 239-46
CODEN: APSXAS; ISSN: 0001-6675

DT Journal

LA English

CC 1-13 (Pharmacodynamics)
Section cross-reference(s): 22

GI



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II, 10, 11-unsatd

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ST spiro amine neuroleptic abs configuration; dibenzocycloheptane neuroleptic abs configuration

IT Tranquilizers and Neuroleptics
(absolute configuration of spiroamines as)

IT Crystal structure
(of chlorospirodibenzocycloheptenecyclohexene and chlorospirodibenzocycloheptanecyclohexene derivative)

IT Stereochemistry
(of chlorospirodibenzocycloheptenecyclohexene derivative, neurolog. activity in relation to)

IT 61955-06-4 61955-07-5 61955-08-6 69319-53-5 69319-54-6

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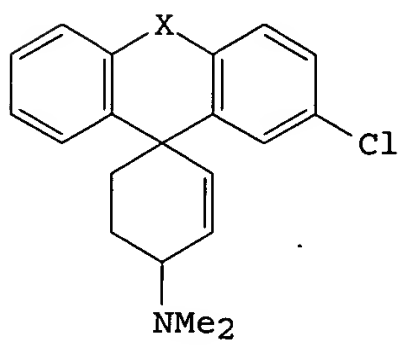
69319-55-7 72689-78-2 72689-79-3
RL: PRP (Properties)
(absolute configuration of, neuroleptic activity in relation to)

L7 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1979:593049 CAPLUS
DN 91:193049
TI An improved synthesis and resolution of potentially neuroleptic rigid spiro amines
AU Carnmalm, B.; Johansson, L.; Ramsby, S.; Stjernstrom. N. E.
CS Res. Dev. Lab., Astra Lakemedel AB, Sodertalje, S-15185, Swed.
SO Acta Chemica Scandinavica, Series B: Organic Chemistry and Biochemistry (1979), B33(2), 100-4
CODEN: ACBOCV; ISSN: 0302-4369
DT Journal
LA English
OS CASREACT 91:193049
GI

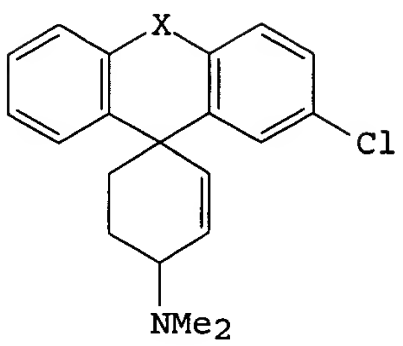


I, X=CH:CH
II, X=CH_2CH_2

AB The rigid spiro amine 3-chloro-N,N-dimethylspiro[5H-dibenzo[a,d]-cycloheptene-5,4'-cyclohex-2'-en]-4'-amine (I) and its 10,11-dihydro derivative II were prepared and their diastereoisomers were separated and resolved.
All possible enantiomers were characterized.
AN 1979:593049 CAPLUS
DN 91:193049
ED Entered STN: 12 May 1984
TI An improved synthesis and resolution of potentially neuroleptic rigid spiro amines
AU Carnmalm, B.; Johansson, L.; Ramsby, S.; Stjernstrom. N. E.
CS Res. Dev. Lab., Astra Lakemedel AB, Sodertalje, S-15185, Swed.
SO Acta Chemica Scandinavica, Series B: Organic Chemistry and Biochemistry (1979), B33(2), 100-4
CODEN: ACBOCV; ISSN: 0302-4369
DT Journal
LA English
CC 26-8 (Condensed Aromatic Compounds)
OS CASREACT 91:193049
GI

Blessing Fubara

09982093



I, X=CH:CH

II, X=CH_2CH_2

AB The rigid spiro amine 3-chloro-N,N-dimethylspiro[5H-dibenzo[a,d]-cycloheptene-5,4'-cyclohex-2'-en]-4'-amine (I) and its 10,11-dihydro derivative II were prepared and their diastereoisomers were separated and resolved.
All possible enantiomers were characterized.

ST rigid spiro amine; spirodibenzocycloheptenecyclohexenamine prepn resoln; neuroleptic potential spiro amine prepn

IT Resolution
(of potentially neuroleptic rigid spiroamines)

IT 124-40-3, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(Leuckart reaction of, with dibenzocycloheptenecarboxaldehyde and Me vinyl ketone)

IT 78-94-4, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization reaction of, with dibenzocycloheptenecarboxaldehyde and dimethylamine)

IT 23908-14-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization reaction of, with dimethylsulfoxonium methylide)

IT 19661-01-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(deoxygenation of)

IT 50456-60-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion to acid chloride)

IT 41695-29-8P 41695-35-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and cyclization reaction with butenone and dimethylamine)

IT 38506-19-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and diethoxymethylation of)

IT 71563-50-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)

IT 28200-86-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and rearrangement of)

IT 50456-57-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)

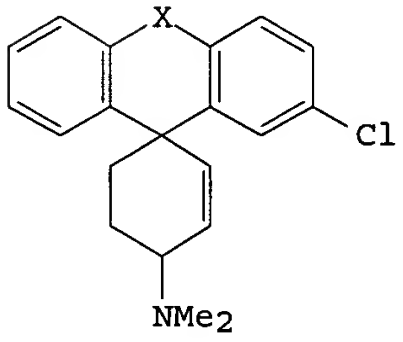
IT 69319-48-8P 69319-49-9P
RL: SPN (Synthetic preparation); PREP (Preparation)

Blessing Fubara

09982093

(preparation and resolution of)
IT 41659-20-5P 41695-52-7P 51359-94-5P 61955-04-2P 61955-09-7P
71563-46-7P 71563-47-8P 71563-51-4P 71592-23-9P 71805-50-0P
71805-51-1P 71805-52-2P 71805-53-3P 71805-54-4P 71805-55-5P
71805-56-6P 71835-15-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
IT 14444-77-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with butyllithium and dibenzocycloheptene derivative)
IT 54491-74-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(self-coupling reaction of)

L7 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1979:132624 CAPLUS
DN 90:132624
TI Studies on the stereoselective dopamine receptor blockade in the rat brain by rigid spiro amines
AU Ogren, S. O.; Hall, H.; Kohler, C.
CS Res. Dev. Lab., Astra Lakemedel AB, Sodertalje, Swed.
SO Life Sciences (1978), 23(17-18), 1769-73
CODEN: LIFSAK; ISSN: 0024-3205
DT Journal
LA English
GI



I

AB The effects of 2 series of tetracyclic spiro amines and of chlorpromazine [50-53-3], clozapine [5786-21-0] and sulpiride [15676-16-1] on spiroperidol [749-02-0] binding in various dopamine areas of the brain and on apomorphine-HCl [314-19-2] induced stereotypy and hyperactivity was studied in rats. The 2 series of rigid spiro amines (racemates and enantiomers) showed stereoselective effects on spiroperidol binding and on apomorphine-induced behaviors, thus providing evidence for stereospecific dopamine receptor blockade. Of the 4 enantiomers of the spiro amines tested, only (cis-(-) isomers showed a high potency, higher than that of chlorpromazine, clozapine and sulpiride. Sulpiride was a weak inhibitor of spiroperidol binding and was less active in limbic areas than in the striatum. The potencies of the spiro amines as well as of chlorpromazine and clozapine in displacing spiroperidol in the striatum and in the limbic dopamine system (nucleus accumbens and tuberculum olfactorium) correlated closely with their potencies in inhibiting apomorphine induced stereotypies and hyperactivity.
AN 1979:132624 CAPLUS
DN 90:132624
ED Entered STN: 12 May 1984

Blessing Fubara

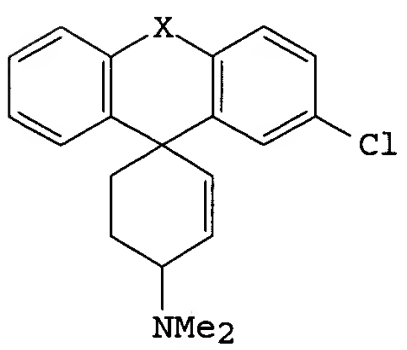
09982093

TI Studies on the stereoselective dopamine receptor blockade in the rat brain by rigid spiro amines

AU Ogren, S. O.; Hall, H.; Kohler, C.
CS Res. Dev. Lab., Astra Lakemedel AB, Sodertalje, Swed.

SO Life Sciences (1978), 23(17-18), 1769-73
CODEN: LIFSAK; ISSN: 0024-3205
DT Journal
LA English
CC 1-4 (Pharmacodynamics)

GI



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ST spiroamine brain dopamine receptor stereospecificity; neuroleptic brain dopamine receptor stereospecificity

IT Brain

IT Tranquilizers and Neuroleptics
(dopamine receptor blockade by, in brain)

IT Hyperkinesis
(spiroamines effect on apomorphine-induced, brain dopaminergic receptor blockade in relation to)

IT Molecular structure-biological activity relationship
(dopamine receptor-blocking, of spiroamines)

IT Receptors
RL: BIOL (Biological study)
(dopaminergic, of brain, blockade of, by spiroamines, stereoselectivity in)

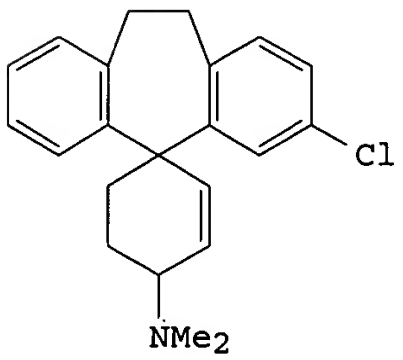
IT Behavior
(stereotypic, spiroamines effect on apomorphine-induced, brain dopaminergic receptor blockade in relation to)

Blessing Fubara

09982093

IT 749-02-0
RL: BIOL (Biological study)
(binding of, by brain dopamine receptors, spiroamines effect on)
IT 50-53-3, biological studies 5786-21-0 15676-16-1
RL: BIOL (Biological study)
(dopamine receptor blockade by, in brain)
IT 61955-05-3 61955-07-5 61955-08-6 69319-48-8
69319-49-9 69319-50-2 69319-51-3 69319-52-4 69319-53-5
69319-54-6 69319-55-7
RL: BIOL (Biological study)
(dopamine receptors blocking by, in brain)
IT 314-19-2
RL: BIOL (Biological study)
(hyperactivity and stereotypy from, spiroamines effect on, dopaminergic receptor blockade in relation to)

L7 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1977:115001 CAPLUS
DN 86:115001
TI Stereoselective effects of the potentially neuroleptic rigid spiro amines
AU Carnmalm, Bernt; Johansson, Lars; Raemsby, Sten; Stjernstroem, Nils E.; Ross, Svante B.; Ogren, Sven-Ove
CS Dep. Org. Chem., Astra Laekemedel AB, Soedertaelje, Swed.
SO Nature (London, United Kingdom) (1976), 263(5577), 519-20
CODEN: NATUAS; ISSN: 0028-0836
DT Journal
LA English
GI



I

AB The effects of enantiomers of the cis and trans isomers of A 02056 (I) [51359-94-5] on apomorphine [58-00-4] (2 mg/kg, i.p.) stereotypes were determined in rats. The inhibitory activity of I resided mainly in the β isomer, A 23623 [61955-04-2], which was about as potent as chlorpromazine [50-53-3], ED50 10 and 14 μmole/kg, resp. In addition, only the (-)-β enantiomer, A 23887 [61955-05-3] was active in the apomorphine test, being 3 or 4 times as potent as chlorpromazine, ED50 4 μmole/kg. Of the 2 β enantiomers only A23887 stimulated dopamine [51-61-6] turnover in brain. There are therefore strict stereochem. requirements for a potent and selective blocker of dopamine receptor.
AN 1977:115001 CAPLUS
DN 86:115001
ED Entered STN: 12 May 1984
TI Stereoselective effects of the potentially neuroleptic rigid spiro amines
AU Carnmalm, Bernt; Johansson, Lars; Raemsby, Sten; Stjernstroem, Nils E.; Ross, Svante B.; Ogren, Sven-Ove

Blessing Fubara

09982093

CS Dep. Org. Chem., Astra Laekemedel AB, Soedertaelje, Swed.
SO Nature (London, United Kingdom) (1976), 263(5577), 519-20
CODEN: NATUAS; ISSN: 0028-0836
DT Journal
LA English
CC 1-4 (Pharmacodynamics)
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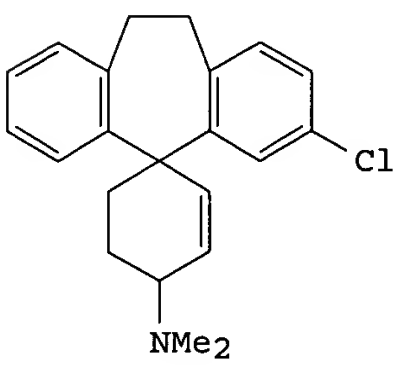

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ST dopamine receptor spiro amine; stereoselectivity neuroleptic spiro amine
IT Spiro compounds
RL: BIOL (Biological study)
(amines, apomorphine stereotypy response to, stereoselectivity of)
IT Receptors
RL: BIOL (Biological study)
(dopaminergic, spiro amines effect on, stereoselectivity of)
IT Amines, biological studies
RL: BIOL (Biological study)
(spiro, apomorphine stereotypy response to, stereoselectivity of)
IT Behavior
(stereotyped, from apomorphine, spiro amines effects on, stereoselectivity of)
IT 61955-05-3 61955-06-4 61955-07-5 61955-08-6
RL: BIOL (Biological study)
(apomorphine stereotypy response to, dopamine receptor blockade in relation to)
IT 50-53-3, biological studies
RL: BIOL (Biological study)
(apomorphine stereotypy response to, spiro amines in relation to)
IT 58-00-4
RL: BIOL (Biological study)
(behavior response to, spiro amines effects on, stereoselectivity of)
IT 51359-94-5P 61955-04-2P 61955-09-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and apomorphine stereotypy response to, dopamine receptor blockade in relation to)

Blessing Fubara

09982093

IT 51-61-6, biological studies
RL: BIOL (Biological study)
(receptors for, spiro amines effect on, stereoselectivity of)

=>

Blessing Fubara